

Listing of Claims

This listing of claims will replace all prior versions and listings of claims in the application.

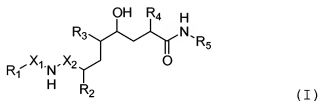
Claims 1-2. (cancelled) A method according to claim 5, wherein the disease is Alzheimer's disease.

Claim 3. (currently amended) A method of treating Alzheimer's disease by modulating the activity of beta amyloid converting enzyme, comprising administering to a subject in need of such treatment a compound disclosed in claim 5 ~~claim 1~~, or a pharmaceutically acceptable salt thereof.

Claim 4. (currently amended) The method according to claim 5 ~~claim 1~~, further comprising the administration of a P-gp inhibitor, or a pharmaceutically acceptable salt thereof.

Claim 5. (original) A method of treating a subject who has, ~~or in preventing a subject from getting, a disease or condition selected from the group consisting of~~ Alzheimer's disease, ~~for helping prevent or delay the onset of~~ Alzheimer's disease, ~~for treating subjects with mild cognitive impairment (MCI) and preventing or delaying the onset of~~ Alzheimer's disease in those who would progress from MCI to AD, ~~for treating~~ Down's syndrome, ~~for treating humans who have~~ Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type, ~~for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin,~~

dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which includes administration of which comprises administering a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt thereof:



wherein R_1 is a 2- R_A -3- R_B -phenyl radical, a 2- R_A -4- R_C -phenyl radical, a 2- R_A -pyridin-3-yl radical, a 3- R_A -pyridin-2-yl radical or a 1- R_D -indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R₅ is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom.

Claim 6. (previously presented) The method according to claim 5 wherein the compound of formula (I) is selected from the group consisting of:

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(7-Butylcarbamoyl-4-formylamino-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-1-Benzyl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxyethyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-Pyridin-2-yl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxybenzyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2- (3-methoxypropoxy) -benzamide;

(2R, 4S, 5S, 7R) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-propoxy-benzamide;

(2S, 4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2- (2-methoxyethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2- [2- (2-methoxyethoxy) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-3- (3-methoxypropoxy) -benzamide;

4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2- (propoxymethyl) -benzamide;

4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-acetamido-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2- [2- (acetamido) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2- (4-methoxybut-2-enoxy) -benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-methylbenzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-hydroxy-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(methoxymethoxy)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(2-methoxyethoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybut-2-enoxy)-benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-4-methyl-benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(5-methoxypentylloxy)-benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(3-morpholin-4-ylpropylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-[3-(dimethylamino)-propoxy]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(piperidin-1-yl)methyl-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(pyrrolidin-1-yl)methyl-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-piperidin-1-ylethoxy)-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-dimethylaminomethyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(4-methylpiperazin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-(4-acetylpiperazin-1-yl)methyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-aminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-aminoethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(4-acetylpiperazin-1-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-dimethylaminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[3-(morpholin-4-yl)-propoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-methoxypiperidin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-acetylpiperazin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[2-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[3-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(4-methoxybutoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(5-methoxypentyloxy)-benzyl]amide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-methyl-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-[(2-morpholin-4-yl)-ethyl]-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-3-(4-methoxybutoxy)-terephthalmic acid;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-butylcarbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-[4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonylcarbamoyl)-3-(4-methoxybutoxy)-phenoxy]-acetic acid;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethylcarbamoylmethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(1H-tetrazol-5-ylmethoxy)-benzamide;

(2S, 4S, 5S, 7S, 2R') -N-[4-Amino-7-(2'-methylcarbamoyl-propylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-[2-(dimethylaminocarbamoyl)-ethylcarbamoyl]-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-[4-Amino-7-(3-carbamoylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[3-(morpholin-4-yl)-3-oxopropylcarbamoyl]-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-[7-[2-(4-Acetylpiperidin-1-yl)-ethylcarbamoyl]-4-amino-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-thiomorpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-morpholin-4-ylmethoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S, 4S, 5S, 7S) -N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(2-morpholin-4-ylethoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-{4-Amino-5-hydroxy-2-isopropyl-7-[2-(4-methoxycarbonylpiperidin-1-yl)-ethylcarbamoyl]-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

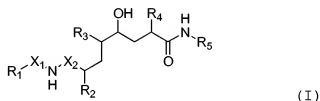
(2S, 4S, 5S, 7R) -N-[4-Amino-5-hydroxy-2-methyl-7-(2-morpholin-4-ylethyl)-carbamoyl]-octyl)-2-(3-methoxypropoxy)-benzamide; and

(2S, 4S, 5S, 7S) -N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethyl-carbamoyl]-nonyl}-4-carbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

or pharmaceutically acceptable salts thereof.

Claims 7-8 (cancelled)

Claim 9. (original) A method for inhibiting beta-secretase activity, comprising contacting an effective amount for inhibition of a compound of formula (I):



wherein R_1 is a 2- R_A -3- R_B -phenyl radical, a 2- R_A -4- R_C -phenyl radical, a 2- R_A -pyridin-3-yl radical a 3- R_A -pyridin-2-yl radical or a 1- R_D -indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals X₁ and X₂ is carbonyl and the other is methylene,

R₂ is an aliphatic radical,

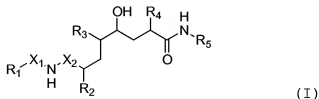
R₃ is unsubstituted or aliphatically substituted amino,

R₄ is an aliphatic or araliphatic radical, and

R₅ is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom.

Claim 10. (cancelled)

Claim 11. (original) A method for inhibiting production of amyloid beta peptide (A beta) in a cell, comprising administering to said cell an effective inhibitory amount of a compound of formula (I):



wherein R₁ is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom.

Claim 12. (original) The method of claim 11, wherein the cell is an animal cell.

Claim 13. (original) The method of claim 12, wherein the animal cell is a mammalian cell.

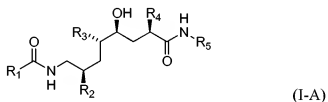
Claim 14. (original) The method of claim 13, wherein the mammalian cell is human.

Claim 15-19. (cancelled)

Claim 20. (previously presented) A method of treatment according to claim 5, further comprising administration of one or more therapeutic agents selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetyl cholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta peptide.

Claim 21. (cancelled)

Claim 22. (previously presented) A method of according to claim 1 where the compound is represented by Formula (I-A) or a pharmaceutically acceptable salt thereof:



wherein R_1 is a 2- R_A -4- R_C -phenyl radical, a 2- R_A -pyridin-3-yl radical or a 3- R_A -pyridin-2-yl radical, wherein

R_A , is C_1 - C_4 alkoxy- C_1 - C_4 alkyl, such as propyloxymethyl, morpholino- C_1 - C_4 alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, C_1 - C_7 alkanoylpiperazino- C_1 - C_4 alkyl, such as N'-acetylpiperazinomethyl, C_1 - C_7 alkoxy, such as propyloxy, C_1 - C_4 alkoxy- C_1 - C_4 alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C_1 - C_4 alkoxy- C_1 - C_4 alkenyloxy, such as 4-methoxy-but-2-enyloxy, C_1 - C_4 alkoxy- C_1 C_4 alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino- C_1 - C_4 alkoxy, such as 2-aminoethoxy or 3-aminopropyloxy, di- C_1 - C_4 alkylamino- C_1 - C_4 alkoxy, such as 3-

dimethylaminopropoxy, carbamoyl-C₁-C₄ alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

R_C is hydrogen, di-C₁-C₄ alkylamino-C₁-C₄ alkyl, such as dimethylaminomethyl, piperidino-C₁-C₄ alkyl, such as piperidinomethyl, pyrrolidino-C₁-C₄ alkyl, such as pyrrolidinomethyl, morpholino-C₁-C₄ alkyl, such as morpholinomethyl, C₁-C₇ alkanoylpiperazino-C₁-C₄ alkyl, such as N'-acetylpiperazinomethyl, or C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, such as N'-methylpiperazinomethyl, morpholino, C₁-C₄ alkoxy, such as methoxy, morpholino-C₁-C₄ alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropoxy, morpholino-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C₁-C₄ alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl, C₁-C₄ alkylcarbamoyl, such as methylcarbamoyl, carboxy-C₁-C₄ alkoxy, such as carboxymethoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, such as 3-dimethylaminopropoxy, C₁-C₇ alkylcarbamoyl-C₁-C₄ alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl-C₁-C₄ alkoxy, such as tetrazol-5-ylmethoxy,

X₁ is carbonyl and X₂ is methylene,

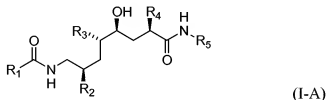
R₂ and R₄ are each independently of the other C₁-C₄ alkyl, such as methyl or isopropyl,

R₃ is amino and

R₅ is C₁-C₄ alkyl, such as butyl, morpholino-C₁-C₄ alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-C₁-C₄ alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C₁-C₄ alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C₁-C₄ alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, such as 2-dimethylcarbamoylethyl, N'-C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, such as N'-methylpiperazinomethyl, N'-C₁-C₄ alkoxy carbonylpiperazino-

C₁-C₄ alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'-C₁-C₇ alkanoylpiperazino-C₁-C₄ alkyl, such as N'-acetylpiperazinomethyl.

Claim 23. (previously presented) A method according to claim 20, wherein the compound is represented by formula (I-A), or a pharmaceutically acceptable salt thereof:



wherein R₁ is a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical or a 3-R_A-pyridin-2-yl radical, wherein

R_A, is C₁-C₄ alkoxy-C₁-C₄ alkyl, such as propyloxymethyl, morpholino-C₁-C₄ alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, C₁-C₇ alkanoylpiperazino-C₁-C₄ alkyl, such as N'-acetylpiperazinomethyl, C₁-C₇ alkoxy, such as propyloxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C₁-C₄ alkoxy-C₁-C₄ alkenyloxy, such as 4-methoxy-but-2-enyloxy, C₁-C₄ alkoxy-C₁ C₄ alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino-C₁-C₄ alkoxy, such as 2-aminoethoxy or 3-aminopropyloxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, such as 3-dimethylaminopropyloxy, carbamoyl-C₁-C₄ alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

R_C is hydrogen, di-C₁-C₄ alkylamino-C₁-C₄ alkyl, such as dimethylaminomethyl, piperidino-C₁-C₄ alkyl, such as piperidinomethyl, pyrrolidino-C₁-C₄ alkyl, such as pyrrolidinomethyl, morpholino-C₁-C₄ alkyl, such as morpholinomethyl, C₁-C₇ alkanoylpiperazino-C₁-C₄ alkyl, such as

N'-acetylpiperazinomethyl, or C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, such as N'-methylpiperazinomethyl, morpholino, C₁-C₄ alkoxy, such as methoxy, morpholino-C₁-C₄ alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropoxy, morpholino-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C₁-C₄ alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl, C₁-C₄ alkylcarbamoyl, such as methylcarbamoyl, carboxy-C₁-C₄ alkoxy, such as carboxymethoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, such as 3-dimethylaminopropoxy, C₁-C₇ alkylcarbamoyl-C₁-C₄ alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl-C₁-C₄ alkoxy, such as tetrazol-5-ylmethoxy,

X₁ is carbonyl and X₂ is methylene,

R₂ and R₄ are each independently of the other C₁-C₄ alkyl, such as methyl or isopropyl,

R₃ is amino and

R₅ is C₁-C₄ alkyl, such as butyl, morpholino-C₁-C₄ alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-C₁-C₄ alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C₁-C₄ alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C₁-C₄ alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, such as 2-dimethylcarbamoylethyl, N'-C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, such as N'-methylpiperazinomethyl, N'-C₁-C₄ alkoxy carbonylpiperazino-C₁-C₄ alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'-C₁-C₇ alkanoylpiperazino-C₁-C₄ alkyl, such as N'-acetylpiperazinomethyl.

Claim 24. (cancelled)

Claim 25. (original) A method according to claim 5, wherein

R_1 is a 2- R_A -3- R_B -phenyl radical, a 2- R_A -4- R_C -phenyl radical, a 2- R_A -pyridin-3-yl radical, a 3- R_A -pyridin-2-yl radical or a 1- R_D -indol-3-yl radical, wherein

one of the radicals R_A and R_B is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom, or a pharmaceutically acceptable salt thereof.

Claim 26. (original) The method according to claim 25, wherein

R_1 is a 2- R_A -3- R_B -phenyl radical, a 2- R_A -4- R_C -phenyl radical, a 2- R_A -pyridin-3-yl radical, a 3- R_A -pyridin-2-yl radical or a 1- R_D -indol-3-yl radical,

wherein one of the radicals R_A and R_B is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl; an amino-lower alkyl or amino-lower alkoxy radical that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy, unsubstituted or substituted phenyl- or pyridyl-lower alkoxy, lower alkoxy-lower alkenyloxy, optionally S-oxidised lower alkylthio-lower alkoxy, or amino-lower alkoxy that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; and the other is hydrogen, lower alkyl, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

R_C is hydrogen, lower alkyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkylcarbamoyl-lower alkoxy, lower alkoxy-lower alkoxy-lower alkyl; an amino, amino-lower alkyl or amino-lower alkoxy group that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower

alkylene, hydroxy-, lower alkoxy-, lower alkoxy-carbonyl- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxy-carbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; or a free or amidated carboxy or carboxy-lower alkoxy group or tetrazolyl-lower alkoxy, and

R_D is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, a free or amidated carboxy or carboxy-lower alkyl group or an unsubstituted or substituted phenyl- or pyridyl-lower alkyl group, one of the radicals X₁ and X₂ is carbonyl and the other is methylene,

R₂ is lower alkyl,

R₃ is unsubstituted or N-lower alkanoylated or N-mono- or N, N-di-lower alkylated amino,

R₄ is lower alkyl or phenyl-lower alkyl, and

R₅ is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; amino-lower alkyl that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy-, lower alkoxy-lower alkyl- or lower alkanoyloxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxy-carbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; free or esterified or amidated carboxy-lower alkyl, cyano-lower alkyl, free or esterified or amidated dicarboxy-lower alkyl, free or esterified or amidated carboxy(hydroxy)-lower alkyl, free or esterified or amidated carboxycycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl,

unsubstituted or N-mono- or N,N-di-lower alkylated thio carbamoyl-lower alkyl, unsubstituted or N-mono- or N,N-di-lower alkylated sulfamoyl-lower alkyl or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or lower alkyl substituted by an optionally hydrogenated and/or oxo-substituted heteroaryl radical that is bonded via a carbon atom,
or a pharmaceutically acceptable salt thereof.

Claim 27. (original) A method according to claim 25 wherein,

R_1 is a 2- R_A -3- R_B -phenyl radical, a 2- R_A -4- R_C -phenyl radical, a 2- R_A -pyridin-3-yl radical, a 3- R_A -pyridin-2-yl radical or a 1- R_D -indol-3-yl radical, wherein

one of the radicals R_A and R_B is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower

alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholino-lower alkoxy, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy; phenyl- or pyridyl-lower alkoxy that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl; lower alkoxy-lower alkenyloxy, lower alkylthio-lower alkoxy, lower alkanesulfinyl-lower alkoxy, lower alkanesulfonyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy or optionally S-oxidised thiomorpholino-lower alkoxy, and the other is hydrogen, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

R₂ is hydrogen, lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, di-lower alkylamino; a piperidino or

pyrrolidino group that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino, optionally S-oxidised thiomorpholino, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkyl-carbamoyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholino-lower alkoxy, carboxy-lower alkoxy, carbamoyl-lower alkoxy, lower alkyl-carbamoyl-lower alkoxy, di-lower alkyl-carbamoyl-lower alkoxy; piperidino- or pyrrolidino-carbonyl-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkoxy, optionally S-oxidised thiomorpholinocarbonyl-lower alkoxy, tetrazolyl-lower alkoxy, carboxy, carbamoyl, lower alkyl-carbamoyl or di-lower alkyl-carbamoyl, and R₀ is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, carboxy, lower alkoxy-carbonyl, carboxy-lower alkyl, lower

alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-lower alkylcarbamoyl-lower alkyl; piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-carbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl or a phenyl- or pyridyl-lower alkyl group that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is lower alkyl,

R_3 is amino, lower alkanoylamino, lower alkylamino or di-lower alkylamino,

R_4 is lower alkyl or phenyl-lower alkyl and

R_5 is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-

lower alkylcarbamoyl-lower alkyl; piperidino- or pyrrolidinocarbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, cyano-lower alkyl, dicarboxy-lower alkyl, lower alkoxy carbonyl (carbonyl)-lower alkyl, di-lower alkoxy carbonyl-lower alkyl, dicarbamoyl-lower alkyl, carbamoyl (carboxy)-lower alkyl, di-(lower alkylcarbamoyl)-lower alkyl, di-(di-lower alkylcarbamoyl)-lower alkyl, carboxy(hydroxy)-lower alkyl, lower alkoxy carbonyl (hydroxy)-lower alkyl, carbamoyl (hydroxy)-lower alkyl, lower alkylcarbamoyl (hydroxy)-lower alkyl or di-lower alkylcarbamoyl (hydroxy)-lower alkyl, carboxycycloalkyl-lower alkyl, lower alkoxy carbonyl cycloalkyl-lower alkyl, carbamoyl cycloalkyl-lower alkyl, lower alkylcarbamoyl cycloalkyl-lower alkyl, di-lower alkylcarbamoyl cycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl, thiocarbamoyl-lower alkyl, N-lower alkylthiocarbamoyl-lower alkyl or N,N-di-lower alkylthiocarbamoyl-lower alkyl, sulfamoyl-lower alkyl, lower alkylsulfamoyl-lower alkyl or di-lower alkylsulfamoyl-lower alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyll or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl-lower alkyl, imidazolyl-lower alkyl, benzimidazolyl-lower alkyl, oxadiazolyl-lower alkyl, pyridyl-lower alkyl, oxopiperidinyl-lower alkyl, dioxopiperidinyl-lower alkyl, oxothiazolyl-lower alkyl, oxo-oxazolinyll-lower alkyl or quinolinyl-lower alkyl,

morpholinocarbonyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl,

or a pharmaceutically acceptable salt thereof.

Claim 28. (original) A method according to claim 25 wherein,

R_1 is a 2- R_A -3- R_B -phenyl radical, a 2- R_A -4- R_C -phenyl radical, a 2- R_A -pyridin-3-yl radical, a 3- R_A -pyridin-2-yl radical or a 1- R_D -indol-3-yl radical, wherein

one of the radicals R_A and R_B is C_1 - C_4 alkyl, hydroxy- C_1 - C_4 alkyl, C_1 - C_4 alkanoyloxy- C_1 - C_4 alkyl, C_1 - C_4 alkoxy- C_1 - C_4 alkyl, C_1 - C_4 alkoxy- C_1 - C_4 alkoxy- C_1 - C_4 alkyl, amino- C_1 - C_4 alkyl, C_1 - C_4 alkanoylamino- C_1 - C_4 alkyl, C_1 - C_4 alkylamino- C_1 - C_4 alkyl, di- C_1 - C_4 alkylamino- C_1 - C_4 alkyl, piperidino- C_1 - C_4 -alkyl, hydroxypiperidino- C_1 - C_4 alkyl, C_1 - C_4 alkoxypiperidino- C_1 - C_4 alkyl, C_1 - C_4 alkoxy- C_1 - C_4 -alkoxypiperidino- C_1 - C_4 alkyl, C_1 - C_4 alkoxycarbonylpiperidino- C_1 - C_4 alkyl, pyrrolidino- C_1 - C_4 alkyl, hydroxypyrrolidino- C_1 - C_4 alkyl, C_1 - C_4 alkoxypyrrolidino- C_1 - C_4 alkyl, C_1 - C_4 alkoxy- C_1 - C_4 alkoxypyrrolidino- C_1 - C_4 alkyl, piperazino- C_1 - C_4 alkyl, N' - C_1 - C_4 alkylpiperazino- C_1 - C_4 alkyl, N' - C_1 - C_4 -alkanoylpiperazino- C_1 - C_4 alkyl, N' - C_1 - C_4 alkoxycarbonylpiperazino- C_1 - C_4 alkyl, N' - C_1 - C_4 alkoxy- C_1 - C_4 alkylpiperazino- C_1 - C_4 alkyl, morpholino- C_1 - C_4 alkyl, C_1 - C_4 alkylmorpholino- C_1 - C_4 alkyl, thiomorpholino- C_1 - C_4 alkyl, S-oxythiomorpholino- C_1 - C_4 alkyl, S,S-dioxythiomorpholino- C_1 - C_4 alkyl, C_1 - C_7 alkoxy, such as propyloxy, amino- C_1 - C_7 alkoxy, C_1 - C_4 alkanoylamino- C_1 - C_4 alkoxy, C_1 - C_4 alkylamino- C_1 - C_4 alkoxy, di- C_1 - C_4 alkylamino- C_1 - C_4 alkoxy, piperidino- C_1 - C_4 alkoxy, hydroxypiperidino- C_1 - C_4 alkoxy, C_1 - C_4 alkoxypiperidino- C_1 - C_4 alkoxy, C_1 - C_4 alkoxy- C_1 - C_4 -alkoxypiperidino- C_1 - C_4 alkoxy,

pyrrolidino-C₁-C₄ alkoxy, hydroxypyrrolidino-C₁-C₄ alkoxy, C₁-C₄-
 alkoxy-pyrrolidino-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄
 alkoxy-pyrrolidino-C₁-C₄ alkoxy, piperazino-C₁-C₄ alkoxy, N'-C₁-C₄
 alkylpiperazino-C₁-C₄ alkoxy, N'-C₁-C₄ alkanoylpiperazino-C₁-C₄
 alkoxy, N'-C₁-C₄ alkoxy-carbonylpiperazino-C₁-C₄ alkoxy, N'-C₁-C₄
 alkoxy-C₁-C₄ alkylpiperazino-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkoxy
 or C₁-C₄ alkylmorpholino-C₁-C₄ alkoxy, thiomorpholino-C₁-C₄
 alkoxy, S-oxythiomorpholino-C₁-C₄ alkoxy, S,S-
 dioxythiomorpholino-C₁-C₄ alkoxy, hydroxy, hydroxy-C₁-C₄ alkoxy,
 C₁-C₄ alkanoyloxy-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy, C₁-C₄
 alkoxy-C₁-C₄ alkoxy-C₁-C₄ alkoxy, polyhalo-C₁-C₄ alkoxy, cyano-C₁-
 C₄ alkoxy, carbamoyl-C₁-C₄ alkoxy, such as 2-carbamoylethoxy;
 phenyl- or pyridyl-C₁-C₄ alkoxy that is unsubstituted or
 substituted by C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, nitro, amino,
 C₁-C₄ alkylamino, di-C₁-C₄ alkylamino, halogen and/or by
 trifluoromethyl; C₁-C₄ alkoxy-C₁-C₄ alkenyloxy, C₁-C₄ alkylthio-C₁-
 C₄ alkoxy, C₁-C₄ alkanesulfinyl-C₁-C₄ alkoxy, C₁-C₄ alkanesulfonyl-
 C₁-C₄ alkoxy, amino-C₁-C₇ alkoxy, C₁-C₄ alkanoylamino-C₁-C₄ alkoxy,
 C₁-C₄ alkylamino-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy,
 piperidino-C₁-C₄ alkoxy, hydroxypiperidino-C₁-C₄ alkoxy, C₁-C₄
 alkoxy-piperidino-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄
 alkoxy-piperidino-C₁-C₄ alkoxy, pyrrolidino-C₁-C₄ alkoxy,
 hydroxypyrrolidino-C₁-C₄ alkoxy, C₁-C₄ alkoxy-pyrrolidino-C₁-C₄
 alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy-pyrrolidino-C₁-C₄ alkoxy,
 piperazino-C₁-C₄ alkoxy, N'-C₁-C₄ alkylpiperazino-C₁-C₄ alkoxy,
 N'-C₁-C₄ alkanoylpiperazino-C₁-C₄ alkoxy, N'-C₁-C₄
 alkoxy-carbonylpiperazino-C₁-C₄ alkoxy, N'-C₁-C₄ alkoxy-C₁-C₄
 alkylpiperazino-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkoxy or C₁-C₄
 alkylmorpholino-C₁-C₄ alkoxy or thiomorpholino-C₁-C₄ alkoxy, and
 the other is hydrogen, carbamoyl, C₁-C₄ alkyl, hydroxy, C₁-C₄
 alkoxy or trihalo-C₁-C₄ alkoxy, R_C is hydrogen, hydroxy, di-C₁-C₄

alkylamino, piperidino, pyrrolidino, morpholino, thiomorpholino, S-oxythiomorpholino, S,S-dioxythiomorpholino, C₁-C₄ alkoxy, hydroxy-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy-C₁-C₄ alkyl, amino-C₁-C₄ alkyl, C₁-C₄ alkanoylamino-C₁-C₄ alkyl, C₁-C₄ alkylamino-C₁-C₄ alkyl, di-C₁-C₄ alkylamino-C₁-C₄ alkyl; piperidino- or pyrrolidino-C₁-C₄ alkyl that is unsubstituted or substituted by hydroxy, C₁-C₄ alkoxy or by C₁-C₄ alkoxy-C₁-C₄ alkyl; amino-C₁-C₄ alkyl, C₁-C₄ alkanoylamino-C₁-C₄ alkyl, C₁-C₄ alkylamino-C₁-C₄ alkyl, di-C₁-C₄ alkylamino-C₁-C₄ alkyl, piperidino-C₁-C₄ alkyl, hydroxypiperidino-C₁-C₄ alkyl, C₁-C₄ alkoxypiperidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkoxypiperidino-C₁-C₄ alkyl, C₁-C₄ alkoxycarbonylpiperidino-C₁-C₄ alkyl, pyrrolidino-C₁-C₄ alkyl, hydroxypyrrolidino-C₁-C₄ alkyl, C₁-C₄ alkoxypyrrolidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkoxypyrrolidino-C₁-C₄ alkyl, piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkanoylpiperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkoxycarbonylpiperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkoxy-C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, morpholino-C₁-C₄ alkyl, C₁-C₄ alkylmorpholino-C₁-C₄ alkyl, thiomorpholino-C₁-C₄ alkyl, S-oxythiomorpholino-C₁-C₄ alkyl, S,S-dioxythiomorpholino-C₁-C₄ alkyl, amino-C₁-C₇ alkoxy, C₁-C₄ alkanoylamino-C₁-C₄ alkoxy, C₁-C₄ alkylamino-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, piperidino-C₁-C₄ alkoxy, hydroxypiperidino-C₁-C₄ alkoxy, C₁-C₄ alkoxypiperidino-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxypiperidino-C₁-C₄ alkoxy, pyrrolidino-C₁-C₄ alkoxy, hydroxypyrrolidino-C₁-C₄ alkoxy, C₁-C₄ alkoxypyrrolidino-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxypyrrolidino-C₁-C₄ alkoxy, piperazino-C₁-C₄ alkoxy, N'-C₁-C₄ alkylpiperazino-C₁-C₄ alkoxy, N'-C₁-C₄ alkanoylpiperazino-C₁-C₄ alkoxy, N'-C₁-C₄ alkoxycarbonylpiperazino-C₁-C₄ alkoxy, N'-C₁-C₄ alkoxy-C₁-C₄

alkylpiperazino-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkoxy or C₁-C₄ alkylmorpholino-C₁-C₄ alkoxy, thiomorpholino-C₁-C₄ alkoxy, S-oxythiomorpholino-C₁-C₄ alkoxy, S,S-dioxythiomorpholino-C₁-C₄ alkoxy, carboxy-C₁-C₄ alkoxy, carbamoyl-C₁-C₄ alkoxy, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy, di-C₁-C₄-alkylcarbamoyl-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, such as 3-dimethylaminopropoxy, piperidinocarbonyl-C₁-C₄ alkoxy, hydroxypiperidinocarbonyl-C₁-C₄ alkoxy, C₁-C₄ alkoxypiperidinocarbonyl-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxypiperidinocarbonyl-C₁-C₄ alkoxy, pyrrolidinocarbonyl-C₁-C₄ alkoxy, hydroxypiperidinocarbonyl-C₁-C₄ alkoxy, C₁-C₄ alkoxypyrrolidinocarbonyl-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxypyrrolidinocarbonyl-C₁-C₄ alkoxy, piperazinocarbonyl-C₁-C₄ alkoxy, N'-C₁-C₄ alkylpiperazinocarbonyl-C₁-C₄ alkoxy, N'-C₁-C₄ alkanoylpiperazinocarbonyl-C₁-C₄ alkoxyl, N'-C₁-C₄ alkoxycarbonylpiperazinocarbonyl or N'-C₁-C₄ alkoxy-C₁-C₄ alkylpiperazinocarbonyl-C₁-C₄ alkoxy, morpholinocarbonyl-C₁-C₄ alkoxy, C₁-C₄ alkylmorpholinocarbonyl-C₁-C₄ alkoxy, thiomorpholinocarbonyl-C₁-C₄ alkoxy, S-oxythiomorpholinocarbonyl, S,S-dioxythiomorpholinocarbonyl-C₁-C₄ alkoxy, tetrazolyl-C₁-C₄ alkoxy, carboxy, carbamoyl or C₁-C₄ alkylcarbamoyl, such as methylcarbamoyl, and

R_D is C₁-C₄ alkyl, hydroxy-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkoxy-C₁-C₄ alkyl, hydroxy-C₁-C₄ alkoxy-C₁-C₄ alkyl, carboxy, C₁-C₄ alkoxycarbonyl, carboxy-C₁-C₄ alkyl, C₁-C₄ alkoxycarbonyl-C₁-C₄ alkyl, carbamoyl-C₁-C₄ alkyl, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, di-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, piperidino-C₁-C₄ alkyl, hydroxypiperidino-C₁-C₄ alkyl, C₁-C₄ alkoxypiperidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkoxypiperidino-C₁-C₄ alkyl, C₁-C₄ alkoxycarbonylpiperidino-C₁-C₄ alkyl, pyrrolidino-C₁-C₄ alkyl, hydroxypyrrolidino-C₁-C₄ alkyl, C₁-C₄

alkoxy-pyrrolidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkoxy-pyrrolidino-C₁-C₄ alkyl, piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkyl-piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkanoyl-piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkoxy-carbonyl-piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkoxy-C₁-C₄ alkyl-piperazino-C₁-C₄ alkyl, morpholino-C₁-C₄ alkyl, C₁-C₄ alkyl-morpholino-C₁-C₄ alkyl, thiomorpholino-C₁-C₄ alkyl, S-oxythiomorpholino-C₁-C₄ alkyl, S,S-dioxythiomorpholino-C₁-C₄ alkyl, carboxy-C₁-C₄ alkyl, C₁-C₄ alkoxy-carbonyl-C₁-C₄ alkyl, or is phenyl-C₁-C₄ alkyl or pyridyl-C₁-C₄ alkyl that is unsubstituted or substituted by C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, nitro, amino, C₁-C₄ alkyl-amino, di-C₁-C₄ alkyl-amino, halogen and/or by trifluoromethyl,

one of the radicals X₁ and X₂ is carbonyl and the other is methylene,

R₂ is C₁-C₄ alkyl,

R₃ is amino, C₁-C₄ alkanoyl-amino, C₁-C₄ alkyl-amino or di-C₁-C₄ alkyl-amino,

R₄ is C₁-C₄ alkyl or phenyl-C₁-C₄ alkyl, and

R₅ is C₁-C₄ alkyl, cycloalkyl-C₁-C₄ alkyl, hydroxy-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkyl, C₁-C₄ alkanoyloxy-C₁-C₄ alkyl, piperidino-C₁-C₄ alkyl, hydroxypiperidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-piperidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkoxy-piperidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-carbonyl-piperidino-C₁-C₄ alkyl, pyrrolidino-C₁-C₄ alkyl, hydroxypyrrolidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-pyrrolidino-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkoxy-pyrrolidino-C₁-C₄ alkyl, piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkyl-piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkanoyl-piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkoxy-carbonyl-piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkoxy-C₁-C₄ alkyl-piperazino-C₁-C₄ alkyl, morpholino-C₁-C₄ alkyl, C₁-C₄ alkyl-morpholino-C₁-C₄ alkyl, thiomorpholino-C₁-C₄ alkyl, S-oxythiomorpholino-C₁-C₄ alkyl, S,S-dioxythiomorpholino-C₁-C₄

alkyl, carboxy-C₁-C₄ alkyl, C₁-C₄ alkoxy-carbonyl-C₁-C₄ alkyl,
 carbamoyl-C₁-C₄ alkyl, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, di-C₁-C₄
 alkylcarbamoyl-C₁-C₄ alkyl, piperidinocarbonyl-C₁-C₄ alkyl,
 hydroxypiperidinocarbonyl-C₁-C₄ alkyl, C₁-C₄
 alkoxypiperidinocarbonyl-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄
 alkoxypiperidinocarbonyl-C₁-C₄ alkyl, pyrrolidinocarbonyl-C₁-C₄
 alkyl, hydroxypyrrolidinocarbonyl-C₁-C₄ alkyl, C₁-C₄
 alkoxypyrrolidinocarbonyl-C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄
 alkoxypyrrolidinocarbonyl-C₁-C₄ alkyl, piperazinocarbonyl-C₁-C₄
 alkyl, N'-C₁-C₄ alkylpiperazinocarbonyl-C₁-C₄ alkyl, N'-C₁-C₄
 alkanoylpiperazinocarbonyl-C₁-C₄ alkyl, N'-C₁-C₄
 alkoxy-carbonylpiperazinocarbonyl, N'-C₁-C₄ alkoxy-C₁-C₄
 alkylpiperazinocarbonyl-C₁-C₄ alkyl, morpholinocarbonyl-C₁-C₄
 alkyl, C₁-C₄ alkylmorpholinocarbonyl-C₁-C₄ alkyl,
 thiomorpholinocarbonyl-C₁-C₄ alkyl, S-oxythiomorpholinocarbonyl-
 C₁-C₄ alkyl, S,S-dioxythiomorpholinocarbonyl-C₁-C₄ alkyl,
 carbamoyl-C₁-C₄ alkyl, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, di-C₁-C₄
 alkylcarbamoyl-C₁-C₄ alkyl, cyano-C₁-C₄ alkyl, dicarboxy-C₁-C₄
 alkyl, C₁-C₄ alkoxy-carbonyl(carboxy)-C₁-C₄ alkyl, di-C₁-C₄
 alkoxy-carbonyl-C₁-C₄ alkyl, dicarbamoyl-C₁-C₄ alkyl,
 carbamoyl(carboxy)-C₁-C₄ alkyl, di-(C₁-C₄ alkylcarbamoyl)-C₁-C₄
 alkyl, di-(di-C₁-C₄ alkylcarbamoyl)-C₁-C₄ alkyl, carboxy(hydroxy)-
 C₁-C₄ alkyl, C₁-C₄ alkoxy-carbonyl(hydroxy)-C₁-C₄ alkyl,
 carbamoyl(hydroxy)-C₁-C₄ alkyl, C₁-C₄ alkylcarbamoyl(hydroxy)-C₁-
 C₄ alkyl or di-C₁-C₄ alkylcarbamoyl(hydroxy)-C₁-C₄ alkyl,
 carboxycycloalkyl-C₁-C₄ alkyl, C₁-C₄ alkoxy-carbonylcycloalkyl-C₁-
 C₄ alkyl, carbamoylcycloalkyl-C₁-C₄ alkyl, C₁-C₄
 alkylcarbamoylcycloalkyl-C₁-C₄ alkyl, di-C₁-C₄
 alkylcarbamoylcycloalkyl-C₁-C₄ alkyl, C₁-C₄ alkanesulfonyl-C₁-C₄
 alkyl, thiocarbamoyl-C₁-C₄ alkyl, N-C₁-C₄ alkylthiocarbamoyl-C₁-C₄
 alkyl or N,N-di-C₁-C₄ alkylthiocarbamoyl-C₁-C₄ alkyl, sulfamoyl-

C₁-C₄ alkyl, C₁-C₆ alkylsulfamoyl-C₁-C₄ alkyl or di-C₁-C₄ alkylsulfamoyl-C₁-C₄ alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyl or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl-C₁-C₄ alkyl, imidazolyl-C₁-C₄ alkyl, benzimidazolyl-C₁-C₄ alkyl, oxadiazolyl-C₁-C₄ alkyl, pyridyl-C₁-C₄ alkyl, oxopiperidinyl-C₁-C₄ alkyl, dioxopiperidinyl-C₁-C₄ alkyl, oxothiazolyl-C₁-C₄ alkyl, oxo-oxazolinyl-C₁-C₄ alkyl or quinolinyl-C₁-C₄ alkyl, morpholinocarbonyl-C₁-C₄ alkyl or unsubstituted or N-C₁-C₄ alkanoylated piperidyl-C₁-C₄ alkyl or unsubstituted or N-C₁-C₄ alkanoylated piperidyl, or a pharmaceutically acceptable salt thereof.

Claim 29. (original) A method according to claim 25, wherein

R₁ is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical, a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical, wherein

one of the radicals R_A and R_B is C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkyl, di-C₁-C₄ alkylamino-C₁-C₄ alkyl, piperidino-C₁-C₄ alkyl, C₁-C₄ alkanoylpiperidinyl-C₁-C₄ alkyl, C₁-C₄ alkoxy-carbonylpiperidino-C₁-C₄ alkyl, pyrrolidino-C₁-C₄ alkyl, piperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkanoylpiperazino-C₁-C₄ alkyl, morpholino-C₁-C₄ alkyl, C₁-C₄ alkylmorpholino-C₁-C₄ alkyl, thiomorpholino-C₁-C₄ alkyl, amino-C₁-C₇ alkoxy, C₁-C₄ alkanoylamino-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, piperidino-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkoxy, hydroxy, C₁-C₇ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkenyloxy, amino-C₁-C₄ alkoxy, C₁-C₄ alkanoylamino-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-

C₄ alkoxy, piperidino-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkoxy, carbamoyl or carbamoyl-C₁-C₄ alkoxy, and the other is hydrogen, C₁-C₄ alkyl, such as methyl, hydroxy or C₁-C₄ alkoxy,

R_C is hydrogen, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkyl, piperidino-C₁-C₄ alkyl, C₁-C₄ alkoxycarbonylpiperidino-C₁-C₄ alkyl, pyrrolidino-C₁-C₄ alkyl, piperazinocarbonyl-C₁-C₄ alkyl, N'-C₁-C₄ alkylpiperazinocarbonyl-C₁-C₄ alkyl, N'-C₁-C₄ alkanoylpiperazinocarbonyl-C₁-C₄ alkyl, morpholino, morpholino-C₁-C₄ alkyl, thiomorpholino-C₁-C₄ alkyl, C₁-C₄ alkoxy, amino-C₁-C₁-C₄ alkoxy, C₁-C₄ alkanoylamino-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, piperidino-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy, carboxy, carbamoyl, C₁-C₄ alkylcarbamoyl, carboxy-C₁-C₄ alkoxy, carbamoyl-C₁-C₄ alkoxy, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy or tetrazolyl-C₁-C₄ alkoxy, and

R_D is C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkyl, carbamoyl-C₁-C₄ alkyl, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, di-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, piperidino-C₁-C₄ alkyl, or C₁-C₄ alkoxycarbonylpiperidino-C₁-C₄ alkyl,

one of the radicals X₁ and X₂ is carbonyl and the other is methylene,

R₂ is C₁-C₄ alkyl,

R₃ is amino or C₁-C₄ alkanoylamino,

R₄ is C₁-C₄ alkyl, and

R₅ is C₁-C₄ alkyl, C₁-C₄ alkoxy-C₁-C₄ alkyl, C₁-C₄ alkoxycarbonylpiperidino-C₁-C₄ alkyl, pyrrolidino-C₁-C₄ alkyl, N'-C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, N'-C₁-C₄ alkoxycarbonylpiperazino-C₁-C₄ alkyl or N'-C₁-C₇ alkanoylpiperazino-C₁-C₄ alkyl, morpholino-C₁-C₄ alkyl, thiomorpholino-C₁-C₄ alkyl, morpholinocarbonyl-C₁-C₄ alkyl,

carbamoyl-C₁-C₄ alkyl, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, di-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, piperidinocarbonyl-C₁-C₄ alkyl, piperazinocarbonyl-C₁-C₄ alkyl, N'-C₁-C₄ alkylpiperazinocarbonyl-C₁-C₄ alkyl, N'-C₁-C₄ alkanoylpiperazinocarbonyl-C₁-C₄ alkyl, N'-C₁-C₄ alkylpiperazinocarbonyl-C₁-C₄ alkyl, or morpholinocarbonyl-C₁-C₄ alkyl,

or a pharmaceutically acceptable salt thereof.

Claim 30. (original) A method according to claim 23, wherein

R₁ is a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical or a 3-R_A-pyridin-2-yl radical, wherein

R_A is C₁-C₄ alkoxy-C₁-C₄ alkyl, morpholino-C₁-C₄ alkyl, C₁-C₇ alkanoylpiperazino-C₁-C₄ alkyl, C₁-C₇ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkenyloxy, C₁-C₄ alkoxy-C₁-C₄ alkoxy-C₁-C₄ alkoxy, amino-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, carbamoyl-C₁-C₄ alkoxy or carbamoyl, and

R_C is hydrogen, di-C₁-C₄ alkylamino-C₁-C₄ alkyl, piperidino-C₁-C₄ alkyl, pyrrolidino-C₁-C₄ alkyl, morpholino-C₁-C₄ alkyl, C₁-C₄ alkanoylpiperazino-C₁-C₇ alkyl, or C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, morpholino-C₁-C₄ alkoxy, morpholino-C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy, piperidino-C₁-C₄ alkoxy, carboxy, carbamoyl, C₁-C₄ alkylcarbamoyl, carboxy-C₁-C₄ alkoxy, di-C₁-C₄ alkylamino-C₁-C₄ alkoxy, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkoxy or tetrazolyl-C₁-C₇ alkoxy,

X₁ is carbonyl and X₂ is methylene,

R₂ and R₄ are each independently of the other C₁-C₄ alkyl,

R₃ is amino and

R₅ is C₁-C₄ alkyl, morpholino-C₁-C₄ alkyl, thiomorpholino-C₁-C₄ alkyl, morpholinocarbonyl-C₁-C₄ alkyl, carbamoyl-C₁-C₄ alkyl, C₁-C₄ alkylcarbamoyl-C₁-C₄ alkyl, di-C₁-C₄ alkylcarbamoyl-C₁-C₄

alkyl, N'-C₁-C₄ alkylpiperazino-C₁-C₄ alkyl, N'-C₁-C₄
alkoxycarbonylpiperazino-C₁-C₄ alkyl or N'-C₁-C₇
alkanoylpiperazino-C₁-C₄ alkyl,
or a pharmaceutically acceptable salt thereof.